

Translation

PATENT COOPERATION TREATY

PCT/FR2003/003336



PCT

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INTERNATIONAL PRELIMINARY EXAMINATION REPORT

(PCT Article 36 and Rule 70)

Applicant's or agent's file reference VCstsF1578/2	FOR FURTHER ACTION See Notification of Transmittal of International Preliminary Examination Report (Form PCT/IPEA/416)	
International application No. PCT/FR2003/003336	International filing date (day/month/year) 07 novembre 2003 (07.11.2003)	Priority date (day/month/year) 08 novembre 2002 (08.11.2002)
International Patent Classification (IPC) or national classification and IPC C07K 2/00,		
Applicant TS PHARMA		

1. This international preliminary examination report has been prepared by this International Preliminary Examining Authority and is transmitted to the applicant according to Article 36.
2. This REPORT consists of a total of <u>6</u> sheets, including this cover sheet. <input type="checkbox"/> This report is also accompanied by ANNEXES, i.e., sheets of the description, claims and/or drawings which have been amended and are the basis for this report and/or sheets containing rectifications made before this Authority (see Rule 70.16 and Section 607 of the Administrative Instructions under the PCT). These annexes consist of a total of _____ sheets.
3. This report contains indications relating to the following items: I <input checked="" type="checkbox"/> Basis of the report II <input type="checkbox"/> Priority III <input type="checkbox"/> Non-establishment of opinion with regard to novelty, inventive step and industrial applicability IV <input type="checkbox"/> Lack of unity of invention V <input checked="" type="checkbox"/> Reasoned statement under Article 35(2) with regard to novelty, inventive step or industrial applicability; citations and explanations supporting such statement VI <input type="checkbox"/> Certain documents cited VII <input type="checkbox"/> Certain defects in the international application VIII <input type="checkbox"/> Certain observations on the international application

Date of submission of the demand 02 juin 2004 (02.06.2004)	Date of completion of this report 14 March 2005 (14.03.2005)
Name and mailing address of the IPEA/EP	Authorized officer
Facsimile No.	Telephone No.

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I. Basis of the report

1. With regard to the **elements** of the international application:*

- ☐ the international application as originally filed
- ☒ the description:
pages _____ 1-40 _____, as originally filed
pages _____, filed with the demand
pages _____, filed with the letter of _____
- ☒ the claims:
pages _____ 1-30 _____, as originally filed
pages _____, as amended (together with any statement under Article 19
pages _____, filed with the demand
pages _____, filed with the letter of _____
- ☒ the drawings:
pages _____ 1/6-6/6 _____, as originally filed
pages _____, filed with the demand
pages _____, filed with the letter of _____
- ☐ the sequence listing part of the description:
pages _____, as originally filed
pages _____, filed with the demand
pages _____, filed with the letter of _____

2. With regard to the **language**, all the elements marked above were available or furnished to this Authority in the language in which the international application was filed, unless otherwise indicated under this item.

These elements were available or furnished to this Authority in the following language _____ which is:

- ☐ the language of a translation furnished for the purposes of international search (under Rule 23.1(b)).
- ☐ the language of publication of the international application (under Rule 48.3(b)).
- ☐ the language of the translation furnished for the purposes of international preliminary examination (under Rule 55.2 and/or 55.3).

3. With regard to any **nucleotide and/or amino acid sequence** disclosed in the international application, the international preliminary examination was carried out on the basis of the sequence listing:

- ☐ contained in the international application in written form.
- ☐ filed together with the international application in computer readable form.
- ☐ furnished subsequently to this Authority in written form.
- ☐ furnished subsequently to this Authority in computer readable form.
- ☐ The statement that the subsequently furnished written sequence listing does not go beyond the disclosure in the international application as filed has been furnished.
- ☐ The statement that the information recorded in computer readable form is identical to the written sequence listing has been furnished.

4. ☐ The amendments have resulted in the cancellation of:

- ☐ the description, pages _____
- ☐ the claims, Nos. _____
- ☐ the drawings, sheets/fig _____

5. ☐ This report has been established as if (some of) the amendments had not been made, since they have been considered to go beyond the disclosure as filed, as indicated in the Supplemental Box (Rule 70.2(c)).**

* Replacement sheets which have been furnished to the receiving Office in response to an invitation under Article 14 are referred to in this report as "originally filed" and are not annexed to this report since they do not contain amendments (Rule 70.16 and 70.17).

** Any replacement sheet containing such amendments must be referred to under item 1 and annexed to this report.

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V. Reasoned statement under Article 35(2) with regard to novelty, inventive step or industrial applicability; citations and explanations supporting such statement

1. Statement

Novelty (N)	Claims	16, 18, 21, 24	YES
	Claims	1, 12, 13, 19, 22	NO
Inventive step (IS)	Claims	16, 18, 21, 24	YES
	Claims	1, 12, 13, 19, 22	NO
Industrial applicability (IA)	Claims	1-30	YES
	Claims		NO

2. Citations and explanations

1. Reference is made to the following documents:

D1: US-A-5 446 023 (GUEDJ CAMILLE ET AL) 29 August 1995 (1995-08-29)

D2: GUEDJ C ET AL: "Vesicles and other supra-molecular systems from biocompatible synthetic glycolipids with hydrocarbon and/or fluorocarbon chains." CHEMISTRY AND PHYSICS OF LIPIDS, vol. 72, no. 2, 1994, pages 153-173, XP009013441 ISSN: 0009-3084

D3: TONG G ET AL: "THE SYNTHESIS OF OLIGONUCLEOTIDE-POLYAMIDE CONJUGATE MOLECULES SUITABLE AS PCR PRIMERS" JOURNAL OF ORGANIC CHEMISTRY, AMERICAN CHEMICAL SOCIETY. EASTON, US, vol. 58, no. 8, 9 April 1993 (1993-04-09), pages 2223-2231, XP000562640 ISSN: 0022-3263

D4: HAVIV FORTUNA ET AL: "In vitro and in vivo activities of reduced-size antagonists of luteinizing hormone-releasing hormone" JOURNAL OF MEDICINAL CHEMISTRY, vol. 37, no. 5, 1994, pages 701-705, XP002278652 ISSN: 0022-2623

D5: HOCART S J ET AL: "EFFECT OF REDUCTIVE ALKYLATION OF D LYSINE IN POSITION 6 ON THE HISTAMINE-RELEASING ACTIVITY LHRH" JOURNAL OF

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MEDICINAL CHEMISTRY, vol. 30, no. 4, 1987, pages 739-743, XP002278653 ISSN: 0022-2623

D6: CONTINO-PEPIN C ET AL: "AMPHIPHILIC OLIGOMERS: A NEW KIND OF MACROMOLECULAR CARRIER OF ANTIMITOTIC DRUGS" CURRENT MEDICINAL CHEMISTRY. ANTI-CANCER AGENTS, BENTHAM SCIENCE PUBLISHERS, HILVERSUM, NL, vol. 2, no. 6, November 2002 (2002-11), pages 645-665, XP009013445 ISSN: 1568-0118

D7: JASSERON SYLVAIN ET AL: "Synthesis and preliminary biological assessments of RGD bearing biocompatible telomere." BIOORGANIC & MEDICINAL CHEMISTRY LETTERS, vol. 12, no. 7, 2002, pages 1067-1070, XP002247711 8 April, 2002 ISSN: 0960-894X

D8: CHAUDIER Y ET AL: "Synthesis and preliminary assessments of hybrid hydrocarbon-fluorocarbon anionic and non-ionic surfactants" TETRAHEDRON LETTERS, ELSEVIER SCIENCE PUBLISHERS, AMSTERDAM, NL, vol. 42, no. 21, 21 May 2001 (2001-05-21), pages 3583-3585, XP004238694 ISSN: 0040-4039

2. The following documents are not international search report citations:

D9: Sjölin & Kihlberg "Use of fluorobenzoyl protective groups in synthesis of glycopeptides: beta elimination of O-linked carbohydrates is suppressed" (2001), vol. 66, pages 2957-2965

D10: EP-A-0046113

D11: WO-A-9300359

D12: WO-A-0004914

3. The present application does not comply with PCT Article 33(1) since the subject matter of claims 1, 12,

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13, 19 and 22 does not meet the requirements of PCT Article 33(2).

The compounds d in D1 (column 3), D2 (compound V), D3 (compound 29), D4 (compounds 38 to 40, 46 to 49), D5 (compound XV), D9 (compounds 4 and 23 to 26), D10 (compounds 16, 19, 22, 23, 28 to 31, 33), D11 (compounds in example 5) and D12 (compound in example 52) render the subject matter claimed non-novel.

4. The subject matter of claims 16, 18, 21 and 24 is novel and is not suggested by the prior art. It is therefore inventive.

However, the compounds in claims 1, 12, 13, 19 and 22 are not inventive since they are not novel. The preparation of amphiphilic compounds of the type in the present application is already known from D1 and D2.

5. The claims have industrial applicability (PCT Article 34(4)(a)(i)).

6. The terms which define groups PA and R (first definition) used in the claims are vague and ambiguous, giving rise to doubt as to the meaning of the technical features to which they relate. Therefore the subject matter of the claims is not clearly defined (PCT Article 6).

7. The claims are not supported by the description (PCT Article 6) since their scope is greater than that justified by the description and the drawings. The reasons therefor are that grouping Y is still a perfluorinated C4-C12 grouping. This feature is essential for the preparation of the amphiphilic compounds as per the

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present application.

8. The claims do not meet the requirements of PCT Article 6 insofar as the subject matter for which protection is sought is not clearly defined. The claims attempt to define this subject matter by the result to be attained (R is a molecule capable being detected by the target of the active ingredient PA), but in so doing merely indicate the underlying problem to be solved by the invention, without stipulating the technical features necessary for arriving at this result.